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L19 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

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DOCUMENT NUMBER: 141:314170

TITLE: 4-Substituted quinoline derivatives, the preparation thereof and compositions containing same, useful as antimicrobials

INVENTOR(S): Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Martin, Jean Paul; Mignani, Serge; Pantel, Guy; Ronan, Baptiste; Tabart, Michel

PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.

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FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| FR 2852954 | A1 | 20041001 | FR 2003-3812 | 20030328 |
| FR 2852954 | B1 | 20060714 | | |
| US 2004224946 | A1 | 20041111 | US 2004-810711 | 20040326 |
| AU 2004226207 | A1 | 20041014 | AU 2004-226207 | 20040329 |
| CA 2520764 | AA | 20041014 | CA 2004-2520764 | 20040329 |
| WO 2004087647 | A2 | 20041014 | WO 2004-FR783 | 20040329 |
| WO 2004087647 | A3 | 20050127 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1611127 | A2 | 20060104 | EP 2004-742385 | 20040329 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | |
| CN 1795191 | A | 20060628 | CN 2004-80014510 | 20040329 |
| PRIORITY APPLN. INFO.: | | | FR 2003-3812 | A 20030328 |
| | | | US 2003-487084P | P 20030714 |
| | | | WO 2004-FR783 | W 20040329 |

OTHER SOURCE(S): MARPAT 141:314170
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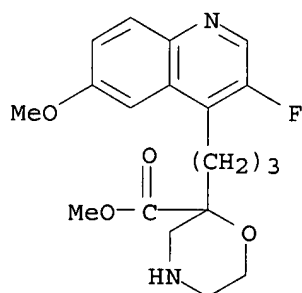
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinoline-4-substituted derivs. I are disclosed [wherein X, Y, Z, U, T = C-R1' to CR5' resp., or one or more is a N atom; R1, R1', R2', R3', R4',

Updated Search

R5' = independently H, halo, cyclo/alkyl, Ph, phenylthio, mono or bicyclic hetero(aryl)thio, OH and derivs., SH and derivatives, NH₂ and derivatives, acyl, OCF₃, OCHF₂, CN, CO₂H and derivatives, NO₂, etc.; D = CHR, CO, CROH, CRF, CF₂; R = H, alkyl; A = (CH₂)_m; m = 1-3; B = (CH₂)_n; n = 0-2; E = CH₂, and when Z = O, S, SO, SO₂, then n = 2; R₂ = CO₂R, CH₂CH₂CO₂R, CH₂OH, CH₂CH₂OH, where R is defined as above; R₃ = Ph, mono or bicyclic heteroaryl, alkylene-R₃'', etc.; R₃'' = H, halo, OH and derivs., alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, cycloalkyl, acyl, Ph, OPh, heteroaryloxy, mono and bicyclic heteroaryl, NH₂ and derivs., CONH₂ and derivs., etc.; their enantiomers or diastereoisomers or their mixts., and/or their syn or anti forms or their mixts.; and their salts]. The novel derivs. are particularly interesting as antimicrobial agents. For example, II was prepared by amination of 2-[(E)-3-chloro-1-propenyl]-1,4-difluorobenzene (preparation given) with amine salt III•2HCl, followed by acidic hydrolysis. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 5-50 mg/kg s.c. or orally. None of the compds. showed toxicity in mice at 50 mg/kg s.c. (2 administrations).

- IT 767355-37-3P, 2-[3-(3-Fluoro-6-methoxyquinolin-4-yl)propyl]morpholine-2-carboxylic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 4-substituted quinolines as antimicrobials)
- RN 767355-37-3 HCAPLUS
- CN 2-Morpholinecarboxylic acid, 2-[3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT